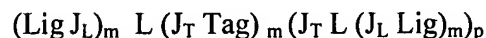


**ABSTRACT**

Library comprising a plurality of tagged non-peptide ligands of formula I

5



including and salts thereof

comprising one or a plurality of same or different ligand moieties Lig each linked to  
 10 a one or a plurality of same or different tag moieties Tag via same or different linker  
 moieties L and same or different linking site or linking functionality  $J_T$  and  $J_L$   
 wherein Lig comprises a GPCR ligand, an inhibitor of an intracellular enzyme or a  
 substrate or inhibitor of a drug transporter;

L is a single bond or is any linking moiety selected from a heteroatom  
 15 such as N, O, S, P, branched or straight chain saturated or unsaturated,  
 optionally heteroatom containing,  $C_{1-600}$  hydrocarbonyl and  
 combinations thereof, which may be monomeric, oligomeric having  
 oligomeric repeat of 2 to 30 or polymeric having polymeric repeat in  
 excess of 30 up to 300;

20 Tag is any known or novel tagging substrate;  
 m are each independently selected from a whole number integer from 1  
 to 3;

p is 0 to 3

characterised in that linking is at same or different linking sites in compounds  
 25 comprising different Lig,  $J_L$ , L  $J_T$  and/or – Tag and is at different linking sites in  
 compounds comprising same Lig,  $J_L$ , L  $J_T$  and/or – Tag; process for the preparation  
 thereof; process for the preparation of a library compound of formula I or a precursor  
 of formula IV; method for selecting a compound of formula I from a library thereof;  
 compound of formula I associated with information relating to its pharmacological  
 30 properties; a novel compound of formula I or precursor of formula IV; uses thereof;  
 methods for binding or inhibition therewith; use of a fluorescent target therewith; a

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98

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modified cell surface GPCR and cells expressing the same; and a kit comprising a compound of formula I and a target therefor.